

10/622,655

=> d his

(FILE 'HOME' ENTERED AT 08:38:37 ON 14 JUL 2004)

FILE 'REGISTRY' ENTERED AT 08:38:41 ON 14 JUL 2004

L1 STRUCTURE UPLOADED

L2 STRUCTURE UPLOADED

L3 0 S L1 SAM

L4 0 S L2 SAM

L5 17 S L1 FULL

L6 6 S L2 FULL

FILE 'CA' ENTERED AT 08:39:27 ON 14 JUL 2004

L7 5 S L5 OR L6

=>

---Logging off of STN---

=>

Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 08:39:52 ON 14 JUL 2004

10/622,655

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 08:38:37 ON 14 JUL 2004

=> file reg

 \Rightarrow

Uploading 6.str

L1 STRUCTURE UPLOADED

 \Rightarrow

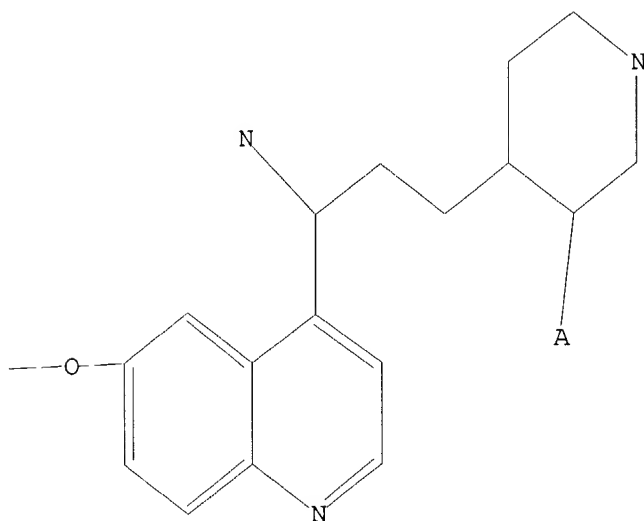
Uploading 5.str

L2 STRUCTURE UPLOADED

$$\Rightarrow d = 11$$

L1 HAS NO ANSWERS

L1 STR



G1 CO₂H, COOH

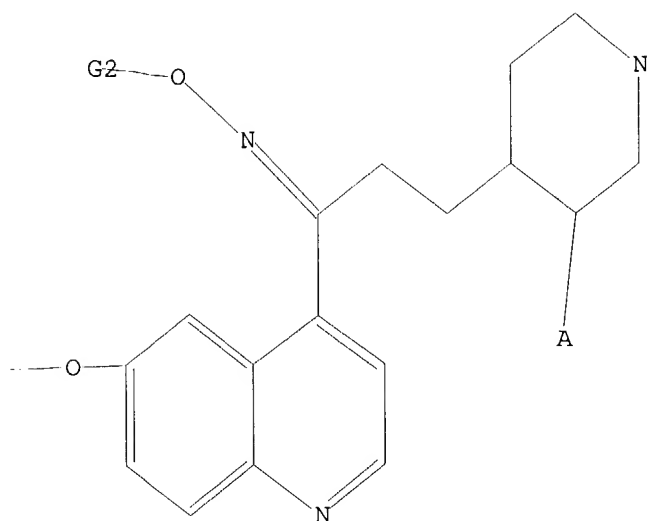
Structure attributes must be viewed using STN Express query preparation.

$$\Rightarrow d \mid 12$$

L2 HAS NO ANSWERS

L2 STR

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G1 CO₂H, COOH

G2 H, Ak

Structure attributes must be viewed using STN Express query preparation.

```
=> s ll full
```

L5 17 SEA SSS FUL L1

=> s 12 full

L6 6 SEA SSS FUL L2

=> file ca

$\Rightarrow s = 15 \text{ or } 16$

4 L5

4 L6

L7 5 L5 OR L6

```
=> d ibib abs fhitrstr hitrn 1-5
```

L7 ANSWER 1 OF 5 CA COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 140:235614 CA
 TITLE: Quinolyl propyl piperidine derivatives, the preparation thereof and compositions containing same, useful as antimicrobials
 INVENTOR(S): Bacque, Eric; Bigot, Antony; El Ahmad, Youssel; Malleron, Jean Luc; Mignani, Serge; Ronan, Baptiste; Tabart, Michel; Viviani, Fabrice
 PATENT ASSIGNEE(S): Aventis Pharma SA, Fr.
 SOURCE: Fr. Demande, 66 pp.
 CODEN: FRXXBL
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2844270	A1	20040312	FR 2002-11212	20020911
WO 2004024712	A1	20040325	WO 2003-FR2686	20030910

W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GE, GR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, RO, SC, SG, SI, TN, TT, UA, UZ, VC, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004087619 A1 20040506 US 2003-659164 20030910
 PRIORITY APPLN. INFO.: FR 2002-11212 A 20020911
 OTHER SOURCE(S): MARPAT 140:235614
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB New 4-[3-(Quinol-4-yl)propyl]piperidine derivs. I are disclosed [wherein R1 = H or F; R2 = COOH, CH2CO2H, CH2OH; R3 = C1-6 alkyl substituted by: (un)substituted SPN (which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxy, carbonyl, cyano, or NH2)], by 3- to 7-membered cycloalkylthio, or by 5- to 6-membered arom. heterocyclylthio comprising 1-4 N/O/S atoms and optionally substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, COOH, alkyloxy, carbonyl, cyano, or NH2], by cycloalkyl contg. 3-7 members, or NH2; or R3 = propargyl substituted by: Ph [which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxy, carbonyl, cyano, or NH2], by cycloalkyl contg. 3-7 members, or by 5- to 6-membered arom. heterocyclyl with 1-4 N/O/S atoms [and (un)substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, COOH, alkyloxy, carbonyl, cyano, or NH2]; R4 = C1-6 alkyl, alkenyl-CH2, or alkynyl-CH2 (alkenyls or alkynyls comprise 2-6 C atoms), cycloalkyl, or cycloalkylalkyl (cycloalkyls comprises 3-8 C atoms); including

L7 ANSWER 2 OF 5 CA COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 140:146015 CA
 TITLE: Preparation of quinolylpropylpiperidines as antimicrobial agents
 INVENTOR(S): Bacque, Eric; Malleron, Jean Luc; Mignani, Serge; Tabart, Michel
 PATENT ASSIGNEE(S): Aventis Pharma SA, Fr.
 SOURCE: Fr. Demande, 39 pp.
 CODEN: FRXXBL
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2842807	A1	20040130	FR 2002-9334	20020723
US 2004058919	A1	20040325	US 2003-622655	20030718
WO 2004011454	A2	20040205	WO 2003-FR2306	20030722
WO 2004011454	A3	20040408		

W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EE, GE, GR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, SK, TN, TT, UA, UZ, VC, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: FR 2002-9334 A 20020723
 OTHER SOURCE(S): MARPAT 140:146015
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1 = alkyl/dialkyl/hydroxy/alkoxy/alkyl alkyloxy/amino; R2 = carboxy, carboxymethyl, hydroxymethyl; R3 = (un)substituted alkyl, propargyl; R4 = alkyl, alkenyl-CH2-, alkynyl-CH2-, cycloalkyl, cycloalkylalkyl; diastereoisomeric forms, mixts. thereof, cis or trans forms, and their salts] were prepd. as antimicrobial agents.

Two synthetic examples are given. For example, II was prepd in 7 steps from olefin III by oxidn. with NaMnO4 to the acid concomitant with N-Boc-protection, esterification, followed by BOC deprotection, N-alkylation with propargylic alc., reaction of the resulting alkyne with 1-bromo-2,3,5-trifluorobenzene, oximation, redn. of the oxime, and hydrolysis of the ester. I were active against exptl. infections of mice by Staphylococcus aureus IP8203 at 65 mg/kg s.c., and at 70 mg/kg orally. None of the compds. showed acute toxicity in mice at 100 mg/kg s.c. (2 administrations).

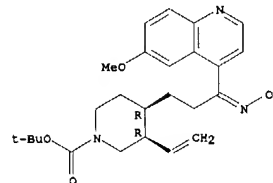
IT 651320-88-6P, (3R,4R)-1-[3-(2,3,5-Trifluorophenyl)prop-2-ynyl]-4-[3-(R,S)-amino-3-(6-methoxyquinolin-4-yl)propyl]piperidine-3-carboxylic acid
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L7 ANSWER 1 OF 5 CA COPYRIGHT 2004 ACS on STN (Continued)
 enantiomeric and diastereoisomeric forms, mixts. thereof, and salts thereof]. The novel derivs. are particularly interesting as antimicrobial agents. Five synthetic examples are given. For example, II was prepd. by N-alkylation of III (prepn. given) with 2-[(2-bromoethyl)sulfonyl]-1,4-difluorobenzene, followed by acidic hydrolysis. Compds. I were active against exptl. infections of mice by Staphylococcus aureus IP 8203 at 12-150 mg/kg s.c., and at 26-150 mg/kg orally. None of the compds. showed toxicity in mice at 100 mg/kg s.c. (2 administrations).

IT 668463-27-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; prepn. of quinolylpropylpiperidines as antimicrobials)

RN 668463-27-2 CA
 CN 1-Piperidinecarboxylic acid, 3-ethenyl-4-[3-(hydroxymino)-3-(6-methoxy-4-quinolinyl)propyl]-, 1,1-dimethylethyl ester, (3R,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



IT 668463-27-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; prepn. of quinolylpropylpiperidines as antimicrobials)

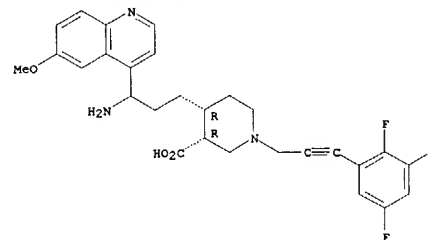
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 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L7 ANSWER 2 OF 5 CA COPYRIGHT 2004 ACS on STN (Continued)
 (antimicrobial agent; prepn. of quinolylpropylpiperidines as antimicrobial agents)

RN 651320-88-6 CA
 CN 3-Piperidinecarboxylic acid, 4-[3-amino-3-(6-methoxy-4-quinolinyl)propyl]-1-[3-(2,3,5-trifluorophenyl)-2-propynyl]-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



IT 651320-88-6P, (3R,4R)-1-[3-(2,3,5-Trifluorophenyl)prop-2-ynyl]-4-[3-(R,S)-amino-3-(6-methoxyquinolin-4-yl)propyl]piperidine-3-carboxylic acid 651320-92-2P
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (antimicrobial agent; prepn. of quinolylpropylpiperidines as antimicrobial agents)

IT 651320-89-7P, Methyl (3R,4R)-1-[3-(2,3,5-trifluorophenyl)prop-2-ynyl]-4-[3-(R,S)-amino-3-(6-methoxyquinolin-4-yl)propyl]piperidine-3-carboxylate 651320-90-0P 651320-93-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; prepn. of quinolylpropylpiperidines as antimicrobial agents)

REFERENCE COUNT: 3
 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

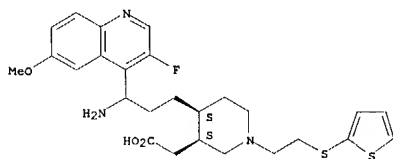
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10/622,655

L7 ANSWER 3 OF 5 CA COPYRIGHT 2004 ACS ON STN
 ACCESSION NUMBER: 137:232568 CA
 TITLE: Quinolyl propyl piperidine derivatives, the preparation thereof and compositions containing same, useful as antimicrobials
 INVENTOR(S): Bacque, Eric; Mignani, Serge; Malleron, Jean-Luc; Tabart, Michel; Evers, Michel; Viviani, Fabrice; El-Ahmad, Youssef; Mutti, Stephane; Daubie, Christophe
 PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
 SOURCE: PCT Int. Appl., 71 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

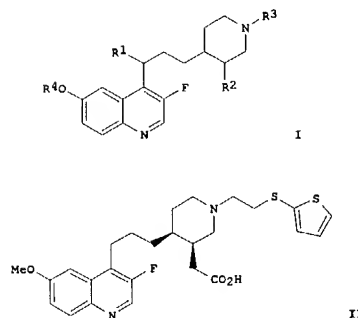
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072572	A1	20020919	WO 2002-FR851	20020311
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ,			
TM	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
FR 2822154	A1	20020920	FR 2001-3374	20010313
EP 1370550	A1	20031217	EP 2002-722329	20020311
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 2002177606	A1	20021128	US 2002-96482	20020313
US 6602884	B2	20030805	US 2003-387479	20030314
US 2003171369	A1	20030911	FR 2001-3374	A 20010313
PRIORITY APPLN. INFO.:			US 2001-281407P	P 20010405
			WO 2002-FR851	W 20020311
			US 2002-96482	A3 20020313
OTHER SOURCE(S):	MARPAT 137:232568			
GI				

L7 ANSWER 3 OF 5 CA COPYRIGHT 2004 ACS ON STN (Continued)
 12-150 mg/kg s.c., and at 26-150 mg/kg orally. None of the compds. showed toxicity in mice at 100 mg/kg s.c. (2 administrations).
 IT 459452-88-1P, (3RS,4RS)-4-[3-(R,S)-Amino-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(thien-2-yl)thio]ethyl]piperidine-3-acetic acid
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; prepn. of (quinolylpropyl)piperidine derivs. as antimicrobials)
 RN 459452-88-1 CA
 CN 3-Piperidineacetic acid, 4-[3-amino-3-(3-fluoro-6-methoxy-4-quinolyl)propyl]-1-[2-(2-thienylthio)ethyl]-, (3R,4R)-rel- (9CI) (CA INDEX NAME)
 Relative stereochemistry.



IT 459452-88-1P, (3RS,4RS)-4-[3-(R,S)-Amino-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(thien-2-yl)thio]ethyl]piperidine-3-acetic acid 459452-90-5P, (3RS,4RS)-4-[3-(R,S)-Amino-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(2,5-difluorophenyl)thio]ethyl]piperidine-3-acetic acid hydrochloride
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; prepn. of (quinolylpropyl)piperidine derivs. as antimicrobials)
 IT 459453-05-5P, (3RS,4RS)-Methyl 4-[3-(R,S)-amino-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(2-thienyl)thio]ethyl]piperidine-3-acetate 459453-06-6P, (3RS,4RS)-Methyl 4-[3-(hydroxylamino)-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(2-thienyl)thio]ethyl]piperidine-3-acetate 459453-08-9P, (3RS,4RS)-Methyl 4-[3-(R,S)-amino-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(2,5-difluorophenyl)thio]ethyl]piperidine-3-acetate 459453-10-2P, (3RS,4RS)-Methyl 4-[3-(hydroxylamino)-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-[(2,5-difluorophenyl)thio]ethyl]piperidine-3-acetate
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; prepn. of (quinolylpropyl)piperidine derivs. as antimicrobials)
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
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 Page 4

L7 ANSWER 3 OF 5 CA COPYRIGHT 2004 ACS ON STN (Continued)



AB New 4-[3-(Quinol-4-yl)propyl]piperidine derivs. I are disclosed [wherein R1 = H, halo, OH, NH2, alkylamino, dialkylamino, hydroxyamino, alkoxyamino, or alkylalkoxyamino; R2 = COOH, CH2CO2H, CH2OH; R3 = C1-6 alkyl substituted by: (un)substituted Sph [which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxycarbonyl, cyano, or NH2], by 3- to 7-membered cycloalkylthio, or by 5- to 6-membered arom. heterocyclylthio comprising 1-4 N/O/S atoms and optionally substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, oxo, COOH, alkyloxycarbonyl, cyano, or NH2; or R3 = propargyl substituted by: Ph [which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxycarbonyl, cyano, or NH2], by cycloalkyl contg. 3-7 members, or by 5- to 6-membered arom. heterocyclyl with 1-4 N/O/S atoms [and (un)substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, oxo, COOH, alkyloxycarbonyl, cyano, or NH2]; R4 = C1-6 alkyl, alkenyl-CH2, or alkynyl-CH2- (alkenyls or alkynyls comprise 2-6 C atoms), cycloalkyl, or cycloalkylalkyl (cycloalkyls comprises 3-8 C atoms); including diastereoisomeric forms, mixts. thereof, cis or trans forms, and salts thereof]. The novel derivs. are particularly interesting as antimicrobial agents. Ten synthetic examples are given. For instance, Wittig reaction of 4(RS)-4-allyl-1-(benzyloxycarbonyl)piperidin-3-one with Ph3P:CHCO2Me gave a 2-isomeric exocyclic olefin, which underwent hydroboration at allyl and Pd-catalyzed coupling with 4-iodo-3-fluoro-6-methoxyquinoline, followed by hydrogenation of the olefin with concomitant N-deprotection, N-alkylation with 2-(2-bromoethylthio)thiophene, and sapon. of the Me ester, to give the racemic title compd. II.2HCl. Compds. I were active against exptl. infections of mice by Staphylococcus aureus IP 8203 at

L7 ANSWER 3 OF 5 CA COPYRIGHT 2004 ACS ON STN (Continued)

